

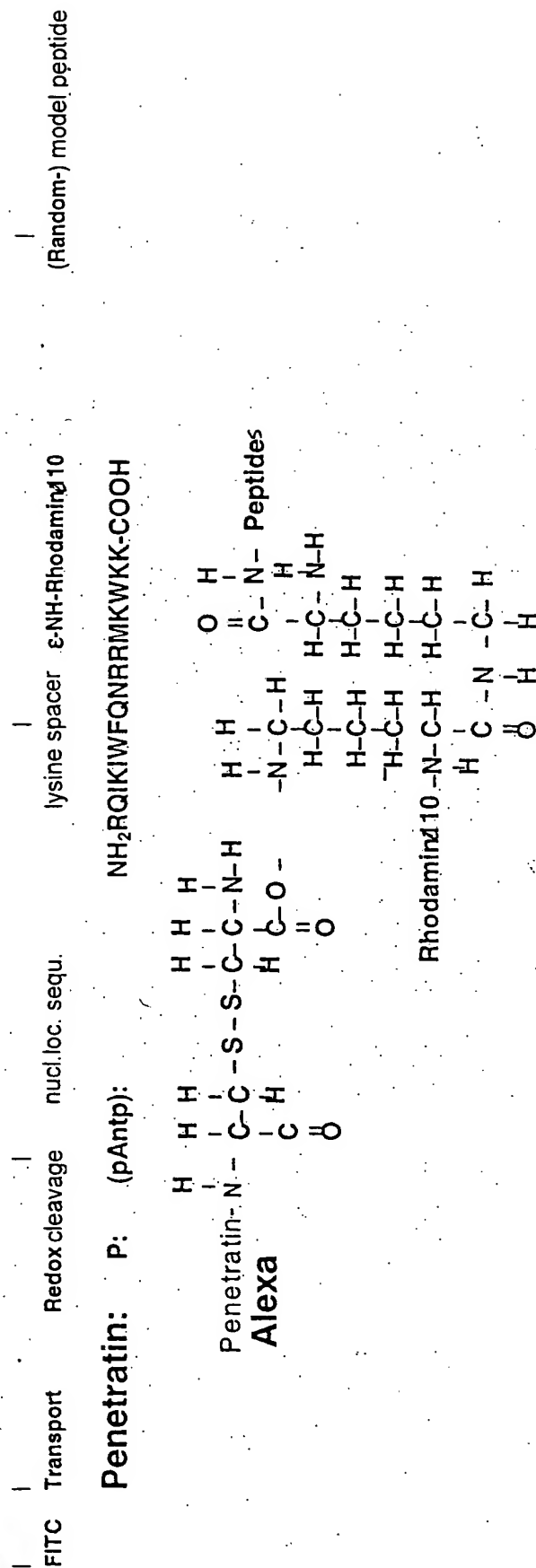
Module1: (MW 2517)
Alexa-Penetratin-Cy-S-H

Modul 2: (MW 2597)

$$\text{H-S-CyONH-NLS-NH-CH}_2\text{-(CH}_2\text{)}_3\text{-CH NH}_2\text{-CO-NH-CH}_2\text{-(CH}_2\text{)}_3\text{-CHNH}_2\text{-CO-NH-Peptide(Drug,PNA)}$$

↓ DMSO 20%/5h (coupling)/ purity: 90 - 95 %!!!!

Alexa-Penetratin-Cy-S-S-CyONH- NLS- NH-CH₂-(CH₂)₃-CHNH₂-CO-NH-CH₂-(CH₂)₃-CHNH₂- CO-NH-Peptide(Drug, PNA)



	transport protein	cysteine redox cleavage site	nuclear localization sequence	spacer	model peptide
Penetratin:	P: (pAntp):				
NLS:	(Nucl. Localis. Signal)				
PNA _{AS} :		NH ₂ RQIKIWFGNRRMKWKK-COOH			
PNA _{NS} :		NH ₂ PKKKRKV-COOH			
		NH ₂ TAC TGC GAC TCC GG-COOH			
		NH ₂ TTA AGG AGG CTC-COOH			

Fig. 6



Time-dependent intracellular transport of the modules. (Z): cytoplasm; (N): nucleus;
 (+): positive signal; (-): no signal. Final conjugate concentration: 100 pM

Transporter	incubation period [h]	Z	N	method
Alexa TM (L)-Penet-S-S-(L)-NLS-KK ^(Rhod110) -PNA	1	+	+	CLSM
	3	+	+	
	6	+	+	
	10	membrane spots membrane spots	+	
	24	+	+	
Alexa TM (L)-PTT ^(TAT/IIIIV-I) -S-S-(L)-KK ^(Rhod110) -PNA	1	+	-	CLSM
	3	+	-	
	10	+	-	
	24	-	-	
Alexa TM (L)-TP ^(IAOP/EC) -S-S-(L)-NLS-KK ^(Rhod110) -PNA	1	+	+	CLSM
	3	+	+	
	6	+	+	
	10	-	+	
	24	-	+	
Alexa TM (L)-TP ^(IAOP/EC) -S-S-(L)-KK ^(Rhod110) -PNA	1	+	-	CLSM
	3	+	-	
	6	+	-	
	10	-	-	
	24	-	-	

Fig. 8